Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

YM158 (free base)

Catalog No: tcsc7406

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:
179102-65-9

Formula:
$C_{32}H_{33}ClN_6O_5S_2$

Pathway:
GPCR/G Protein;GPCR/G Protein

Target:
Leukotriene Receptor;Prostaglandin Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
YM-57158

Observed Molecular Weight:
681.22

Product Description

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YM158 free base is a potent and selective **LTD_4** and **TXA_2 receptor** antagonist with **pA_2** values of about 8.87 and 8.81, respectively.

IC50 & Target: pA2: 8.87 (LTD_4 receptor)[1]

pA2: 8.81 (TXA_2 receptor)[1]

In Vitro: YM158 antagonizes leukotriene (LT) D_4 and thromboxane (TX) A_2 receptors. Functional assays in vitro show that YM158 exhibits competitive dual antagonism of LTD_4 and TXA_2 receptor-mediated contraction of isolated guinea pig tracheae, with pA_2 values of about 8.87 and 8.81, respectively. Its antagonistic activity for the LTD_4 receptor is approximately 6.5 times less potent than that of Montelukast, and that for the TXA_2 receptor is 2.5 times more potent than that of Seratrodast. YM158 also inhibits PGD_2- and $PGF_{2\alpha}$ -induced tracheal contractions. YM158 antagonizes the stable TXA_2 analog U46619-induced aggregation of both guinea pig and human platelets and inhibits the LTD_4-induced contraction of guinea pig ileum. YM158 produces a concentration-dependent inhibition of guinea pig ileum contraction induced by 1 nM LTD_4 with an IC_{50} value of 0.58 nM[1].

In Vivo: YM158, an orally active dual antagonist for LTD_4 and TXA_2 receptors, is expected to have a stronger antiasthmatic efficacy in a broader class of asthmatic patients than single antagonistic drugs.The effect of YM158 is examined on these asthmatic responses in mediator-controlled and passively sensitized guinea pigs. Because the inhibitory effects of YM158 on increase in the airway resistance induced by LTD_4 or U46619 are shown to be dose-dependent when p.o. administered 1 h before LTD_4 or U46619 injection, with ED_{50} values of 8.6 and 14 mg/kg, respectively, the antagonistic activities of p.o. YM158 for LTD_4 and TXA_2 receptors are exhibited at the same dose range. Oral YM158 shows significant effects, approximately the same as the combination of Pranlukast and Daltroban on antigen-induced response under various conditions; namely, where LTD_4 is predominant, TXA_2 is predominant; or where both mediators participated equally. In groups not treated with Indomethacin, administration of Daltroban (10 mg/kg), a combination of Pranlukast (30 mg/kg) and Daltroban (10 mg/kg), or YM158 (30 mg/kg) significantly prolongs the onset time for asthmatic response and significantly suppresses symptoms[2].